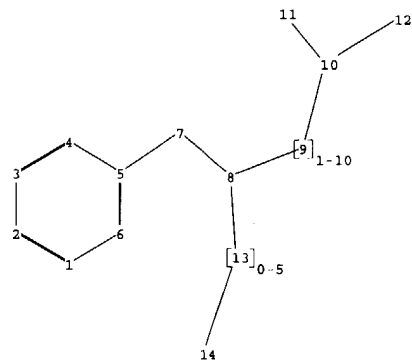
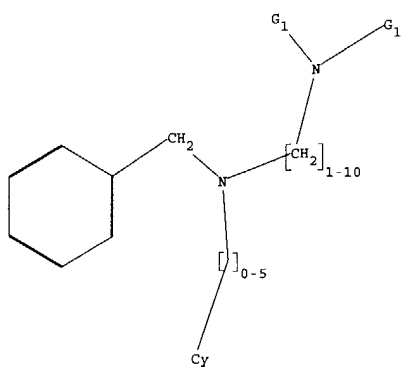


chain nodes :  
 7 8 9 10 11 12 13 14  
 ring nodes :  
 1 2 3 4 5 6  
 chain bonds :  
 5-7 7-8 8-9 8-13 9-10 10-11 10-12 13-14  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 exact/norm bonds :  
 8-13 10-11 10-12 13-14  
 exact bonds :  
 5-7 7-8 8-9 9-10  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6

G1:n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom  
 Generic attributes :  
 14:  
 Number of Carbon Atoms : less than 7  
 Type of Ring System : Monocyclic



chain nodes :

7 8 9 10 11 12 13 14

ring nodes :

1 2 3 4 5 6

chain bonds :

5-7 7-8 8-9 8-13 9-10 10-11 10-12 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

8-13 10-11 10-12 13-14

exact bonds :

5-7 7-8 8-9 9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:Atom

Generic attributes :

14:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

765

=> d his

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L5 121 SEARCH L4 SSS SUB=L3 FULL  
L6 0 SEARCH L4 CSS SUB=L3 FULL

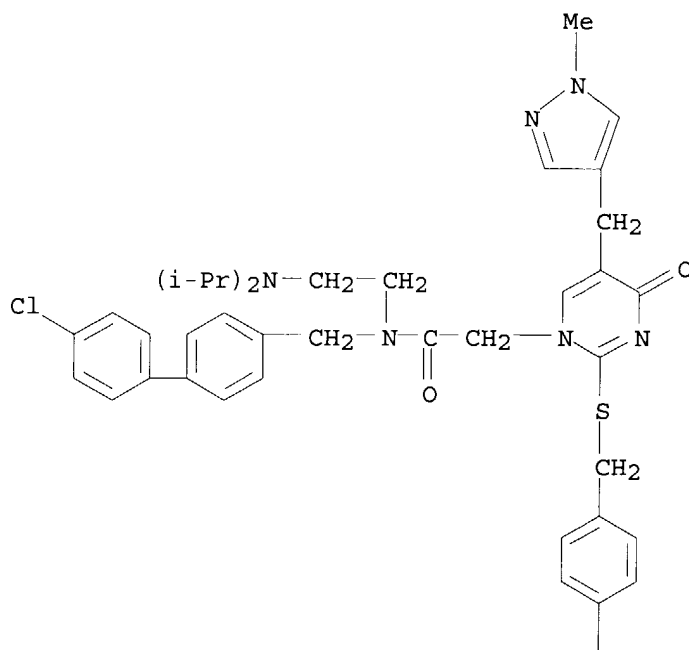
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L7 13 L5

=> d bib abs hitstr 1-13

L7 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:641070 CAPLUS  
DN 138:280725  
TI The discovery of SB-435495: A potent, orally active inhibitor of  
lipoprotein-associated phospholipase A2 for evaluation in man  
AU Blackie, Josie A.; Bloomer, Jackie C.; Brown, Murray J. B.; Cheng,  
Hung-Yuan; Elliott, Richard L.; Hammond, Beverley; Hickey, Deirdre M. B.;  
Ife, Robert J.; Leach, Colin A.; Lewis, V. Ann; Macphee, Colin H.;  
Milliner, Kevin J.; Moores, Kitty E.; Pinto, Ivan L.; Smith, Stephen A.;  
Stansfield, Ian G.; Stanway, Steven J.; Taylor, Maxine A.; Theobald, Colin  
J.; Whittaker, Caroline M.  
CS GlaxoSmithKline, Medicines Research Centre, Stevenage, SG1 2NY, UK  
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(18), 2603-2606  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
AB The introduction of a functionalized amido substituent into a series of  
1-(biphenylmethylacetamido)-pyrimidones has given a series of inhibitors  
of recombinant lipoprotein-associated phospholipase A2 with sub-nanomolar  
potency and very encouraging developability properties. Diethylaminoethyl  
derivative, SB-435495, was selected for progression to man.  
IT **503534-69-8P**  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(pyrimidone SB-435495 as a lipoprotein-associated phospholipase A2  
inhibitor)  
RN 503534-69-8 CAPLUS  
CN 1(4H)-Pyrimidineacetamide, N-[2-[bis(1-methylethyl)amino]ethyl]-N-[(4'-  
chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[[4-fluorophenyl)methyl]thio]-5-[(1-  
methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



F

RE.CNT 8      THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7    ANSWER 2 OF 13    CAPLUS    COPYRIGHT 2004 ACS on STN  
AN    2002:368443    CAPLUS  
DN    136:369504  
TI    Preparation of substituted alkyldiamines as inhibitors of plasmodium  
falciparum protease plasmepsin II or related aspartic proteases  
IN    Boss, Christoph; Fischli, Walter; Meyer, Solange; Richard-Bildstein,  
Sylvia; Weller, Thomas  
PA    Actelion Pharmaceuticals Ltd., Switz.  
SO    PCT Int. Appl., 69 pp.  
CODEN: PIXXD2

DT    Patent  
LA    English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002038534	A2	20020516	WO 2001-EP12617	20011031
	WO 2002038534	A3	20021114		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002014035 A5 20020521 AU 2002-14035 20011031  
 BR 2001015276 A 20030812 BR 2001-15276 20011031  
 EP 1335899 A2 20030820 EP 2001-982452 20011031

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004513161 T2 20040430 JP 2002-541072 20011031  
 NO 2003002085 A 20030509 NO 2003-2085 20030509  
 US 2004067927 A1 20040408 US 2003-416363 20031107

PRAI WO 2000-EP11142 W 20001110  
 WO 2001-EP12617 W 20011031

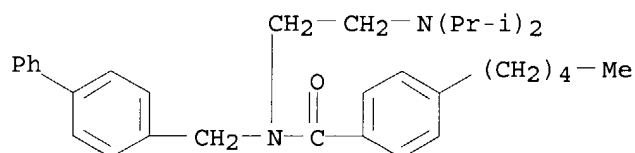
OS MARPAT 136:369504

AB Compds. R4(CH)tr3NQANR1R2 [Q = SO2R5, COR5, CONHR5, CONR5R6, CO2R5,  
 (CH2)pR5, (CH2)pCHR5R6; R1, R2 = Pr, pentyl, hexyl, ω-hydroxypropyl,  
 etc., or R1NR2 = ring; R3 = alkyl, alkenyl, aryl, heteroaryl, cycloalkyl,  
 etc.; R4 = H, CH2OR7, CO2R7, alkyl, R5, R6 = alkyl, alkenyl, aryl,  
 cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, aryl, etc.; t = 0, 1; p =  
 0-2; A = (CH2)n and n = 2, 3, 4, 5], useful as inhibitors of the  
 plasmodium falciparum protease plasmepsin II or related aspartic  
 proteases, were prepared E.g., reaction of 4-PhCH2OC6H4CH2NHCH2CH2NBU2 with  
 4-pentylbenzoyl chloride gave 4-PhCH2OC6H4CH2N(CH2CH2NBU2)COC6H4CH2Bu-4  
 (I). The IC50 value for I on plasmepsin II was 115.

IT **422524-11-6P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (nod preparation of substituted alkyldiamines as inhibitors of plasmodium  
 falciparum protease plasmepsin II or related aspartic proteases)

RN 422524-11-6 CAPLUS

CN Benzamide, N-([1,1'-biphenyl]-4-ylmethyl)-N-[2-[bis(1-  
 methylethyl)amino]ethyl]-4-pentyl- (9CI) (CA INDEX NAME)



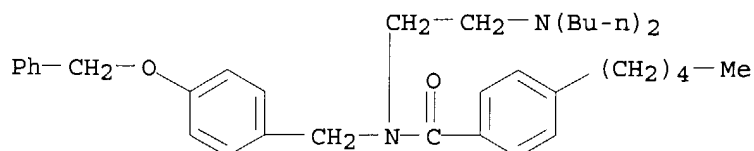
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 422523-72-6P 422523-73-7P 422523-74-8P  
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 422524-24-1P 422524-25-2P 422524-26-3P  
 422524-27-4P 422524-28-5P 422524-29-6P  
 422524-30-9P 422524-31-0P 422524-33-2P  
 422524-34-3P 422524-35-4P 422524-36-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted alkylidiamines as inhibitors of plasmodium falciparum protease plasmepsin II or related aspartic proteases)

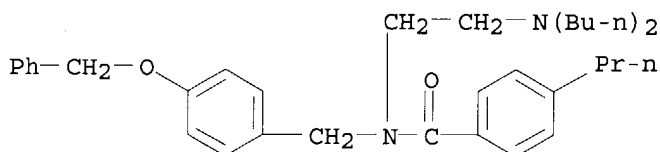
RN 422523-63-5 CAPLUS

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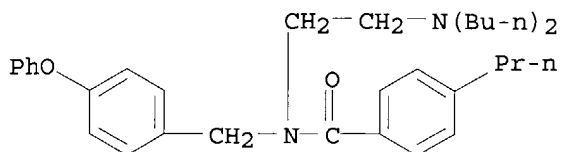
RN 422523-64-6 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl- (9CI) (CA INDEX NAME)



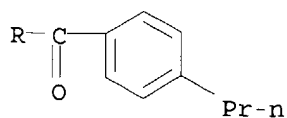
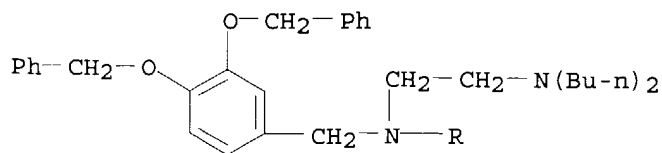
RN 422523-65-7 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[[4-phenoxyphenyl]methyl]-4-propyl- (9CI) (CA INDEX NAME)



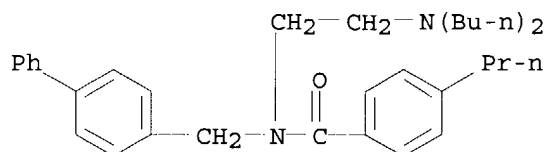
RN 422523-66-8 CAPLUS

CN Benzamide, N-[[3,4-bis(phenylmethoxy)phenyl]methyl]-N-[2-(dibutylamino)ethyl]-4-propyl- (9CI) (CA INDEX NAME)



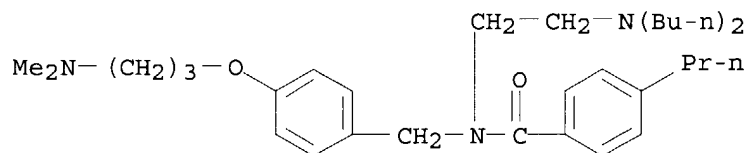
RN 422523-67-9 CAPLUS

CN Benzamide, N-([1,1'-biphenyl]-4-ylmethyl)-N-[2-(dibutylamino)ethyl]-4-propyl- (9CI) (CA INDEX NAME)



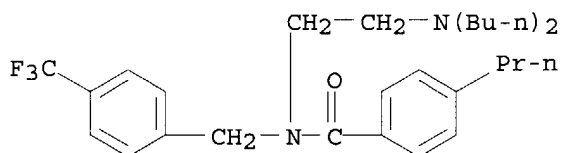
RN 422523-68-0 CAPLUS

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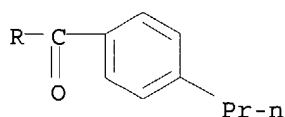
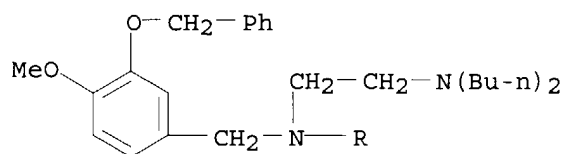
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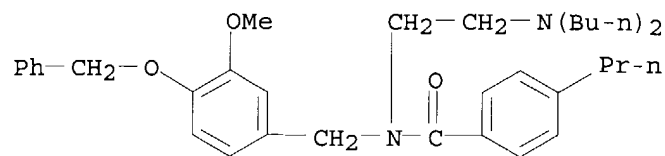
RN 422523-70-4 CAPLUS

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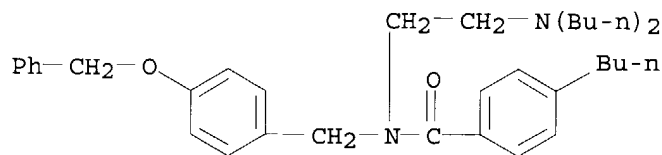
RN 422523-71-5 CAPLUS

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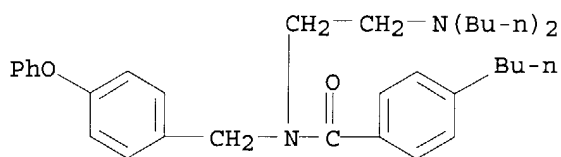
RN 422523-72-6 CAPLUS

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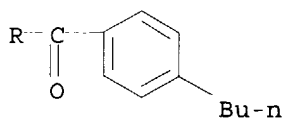
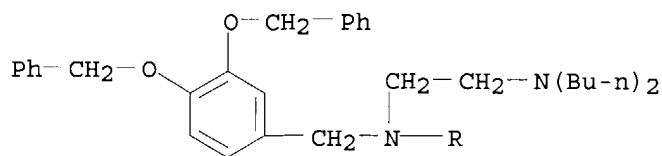
RN 422523-73-7 CAPLUS

CN Benzamide, 4-butyl-N-[2-(dibutylamino)ethyl]-N-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 422523-74-8 CAPLUS

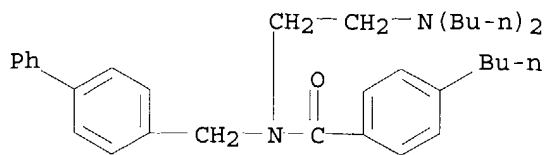
CN Benzamide, N-[[3,4-bis(phenylmethoxy)phenyl]methyl]-4-butyl-N-[2-(dibutylamino)ethyl]- (9CI) (CA INDEX NAME)



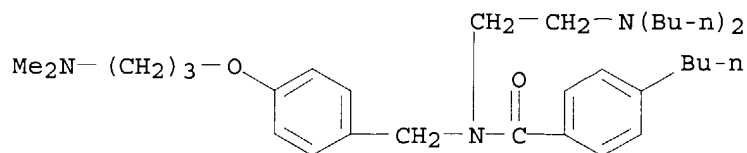
RN 422523-75-9 CAPLUS

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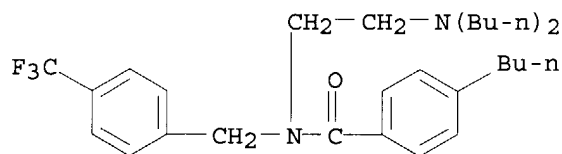




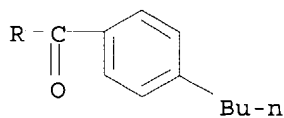
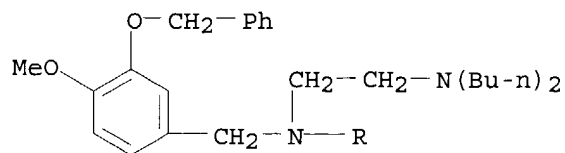
RN 422523-76-0 CAPLUS  
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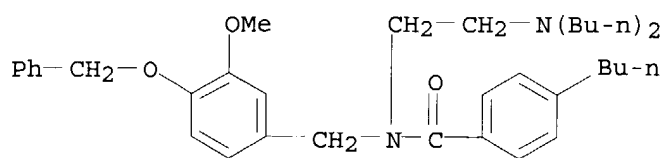
RN 422523-77-1 CAPLUS  
 CN Benzamide, 4-butyl-N-[2-(dibutylamino)ethyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 422523-78-2 CAPLUS  
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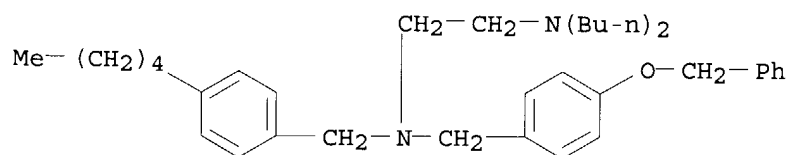


RN 422523-79-3 CAPLUS  
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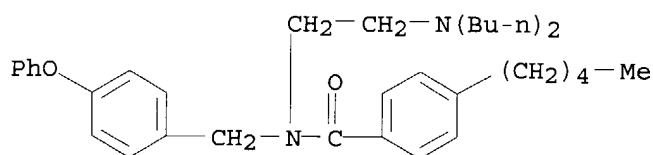
RN 422523-82-8 CAPLUS

CN 1,2-Ethanediamine, N,N-dibutyl-N'-[[4-pentylphenyl)methyl]-N'-[[4-(phenylmethoxy)phenyl)methyl]- (9CI) (CA INDEX NAME)



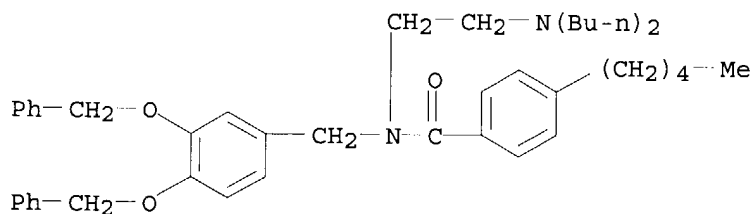
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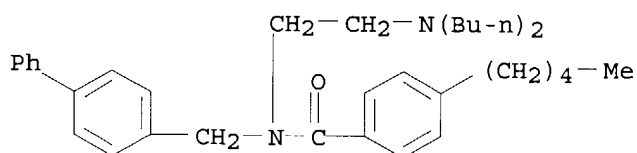
RN 422523-84-0 CAPLUS

CN Benzamide, N-[[3,4-bis(phenylmethoxy)phenyl)methyl]-N-[2-(dibutylamino)ethyl]-4-pentyl- (9CI) (CA INDEX NAME)



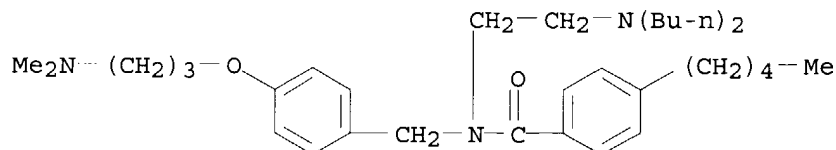
RN 422523-85-1 CAPLUS

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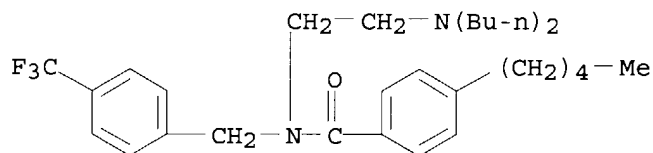
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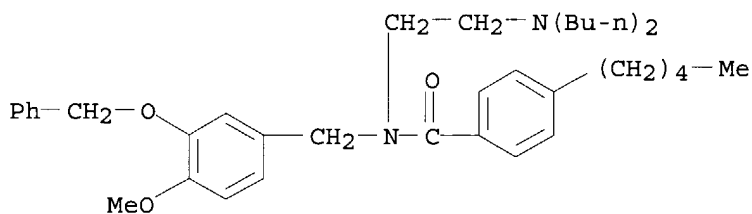
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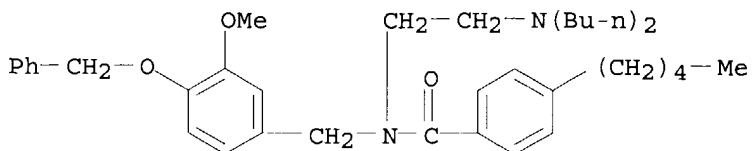
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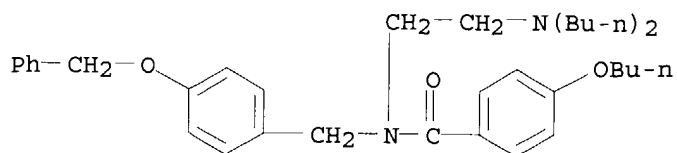
RN 422523-89-5 CAPLUS

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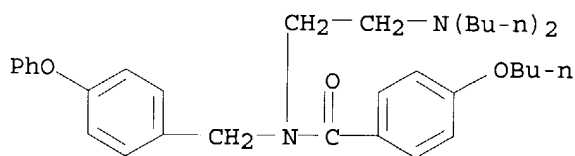
RN 422523-90-8 CAPLUS

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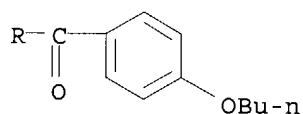
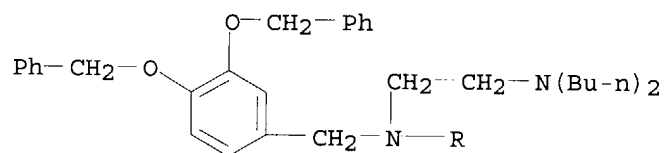
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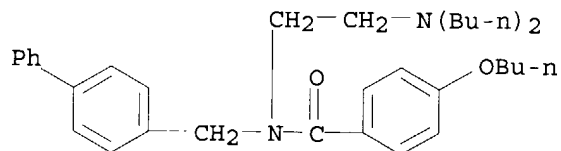
RN 422523-92-0 CAPLUS

CN Benzamide, N-[[3,4-bis(phenylmethoxy)phenyl]methyl]-4-butoxy-N-[2-(dibutylamino)ethyl]- (9CI) (CA INDEX NAME)



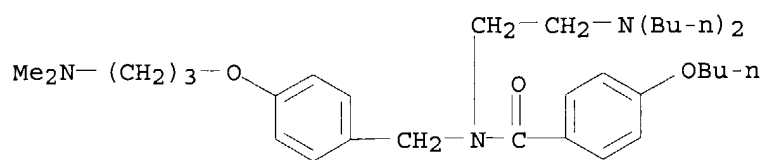
RN 422523-94-2 CAPLUS

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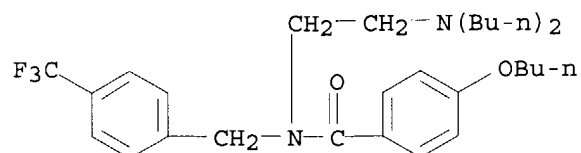
RN 422523-95-3 CAPLUS

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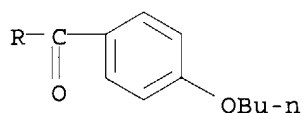
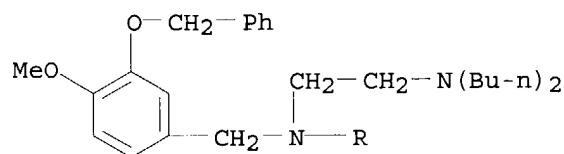
RN 422523-96-4 CAPLUS

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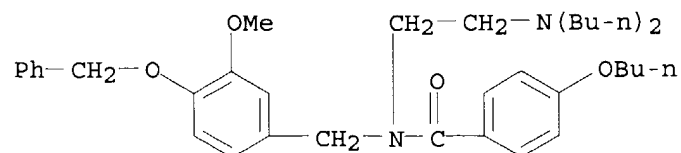
RN 422523-97-5 CAPLUS

CN Benzamide, 4-butoxy-N-[2-(dibutylamino)ethyl]-N-[[4-methoxy-3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



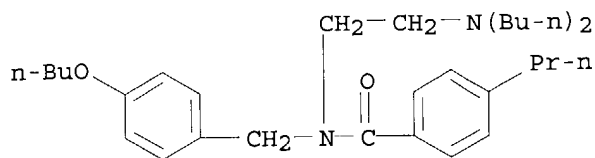
RN 422523-98-6 CAPLUS

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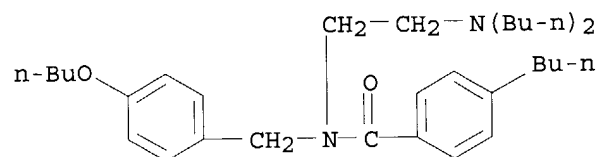


RN 422523-99-7 CAPLUS

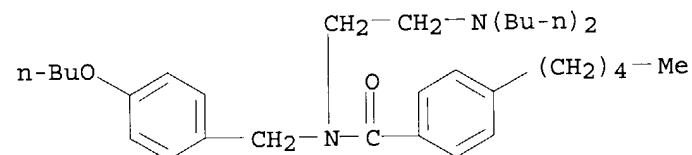
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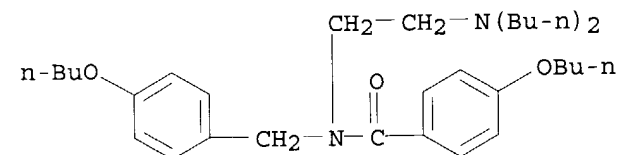
RN 422524-00-3 CAPLUS  
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 (9CI) (CA INDEX NAME)



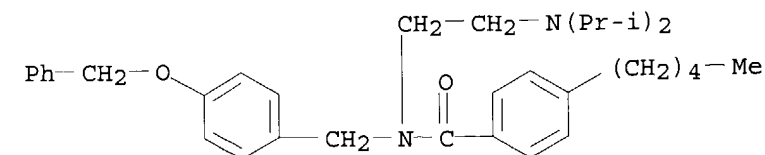
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 CN Benzamide, N-[(4-butoxyphenyl)methyl]-N-[2-(dibutylamino)ethyl]-4-pentyl-  
 (9CI) (CA INDEX NAME)



RN 422524-02-5 CAPLUS  
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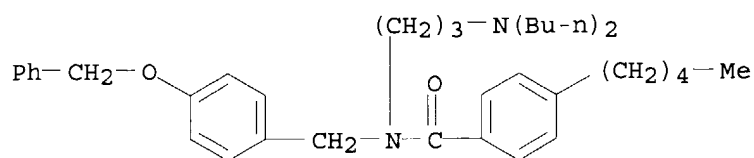


RN 422524-10-5 CAPLUS  
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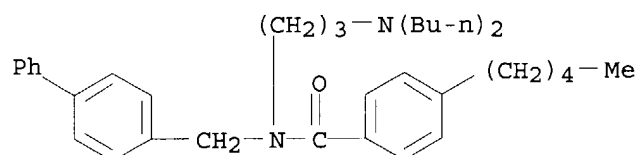
RN 422524-14-9 CAPLUS  
 CN Benzamide, N-[3-(dibutylamino)propyl]-4-pentyl-N-[[4-

(phenylmethoxy)phenyl]methyl] - (9CI) (CA INDEX NAME)



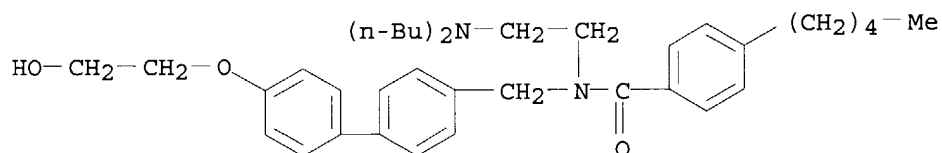
RN 422524-15-0 CAPLUS

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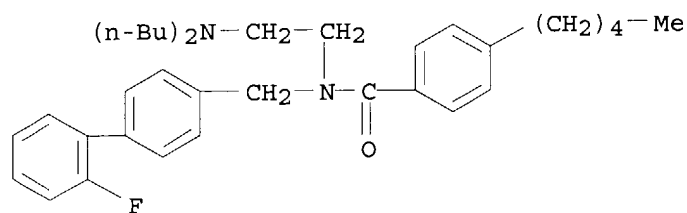
RN 422524-16-1 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[[4'-(2-hydroxyethoxy)[1,1'-biphenyl]-4-yl]methyl]-4-pentyl- (9CI) (CA INDEX NAME)



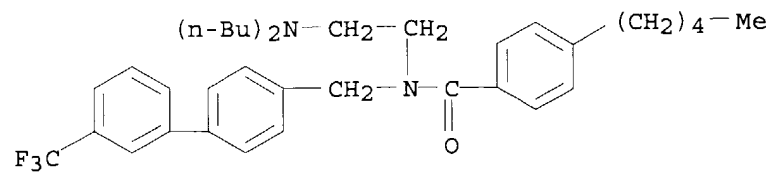
RN 422524-17-2 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[[2'-(2-fluoro[1,1'-biphenyl]-4-yl)methyl]-4-pentyl- (9CI) (CA INDEX NAME)



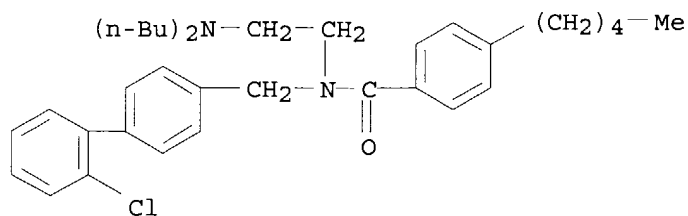
RN 422524-18-3 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-4-pentyl-N-[[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



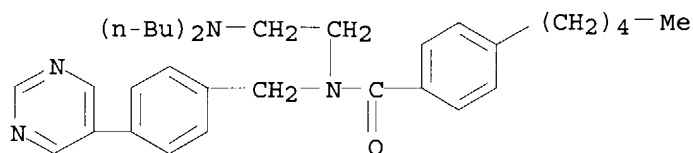
RN 422524-19-4 CAPLUS

CN Benzamide, N-[(2'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dibutylamino)ethyl]-4-pentyl- (9CI) (CA INDEX NAME)



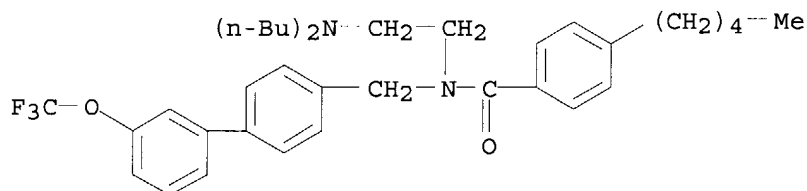
RN 422524-20-7 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-4-pentyl-N-[[4-(5-pyrimidinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



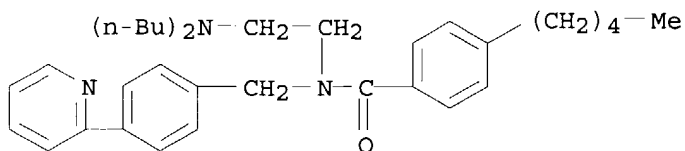
RN 422524-21-8 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-4-pentyl-N-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 422524-22-9 CAPLUS

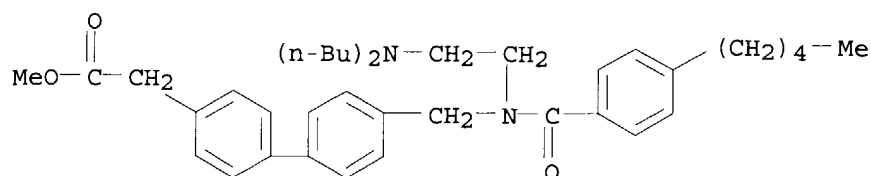
CN Benzamide, N-[2-(dibutylamino)ethyl]-4-pentyl-N-[[4-(2-pyridinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 422524-23-0 CAPLUS

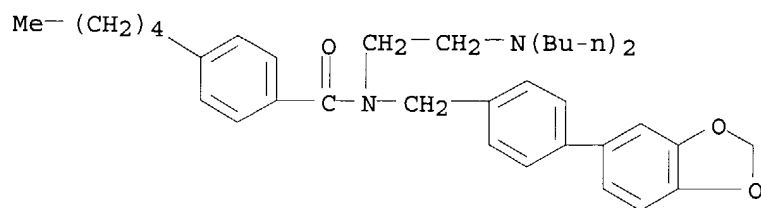
CN [1,1'-Biphenyl]-4-acetic acid, 4'-[[[2-(dibutylamino)ethyl](4-pentylbenzoyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)





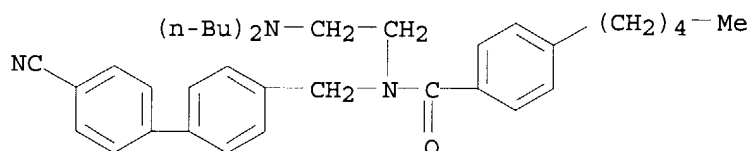
RN 422524-24-1 CAPLUS

CN Benzamide, N-[[4-(1,3-benzodioxol-5-yl)phenyl]methyl]-N-[2-(dibutylamino)ethyl]-4-pentyl- (9CI) (CA INDEX NAME)



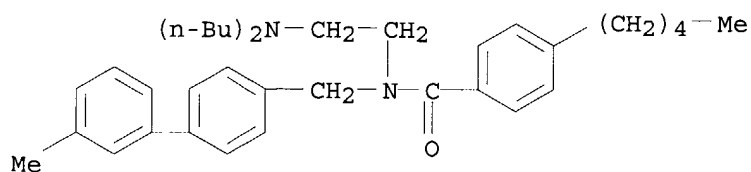
RN 422524-25-2 CAPLUS

CN Benzamide, N-[(4'-cyano[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dibutylamino)ethyl]-4-pentyl- (9CI) (CA INDEX NAME)



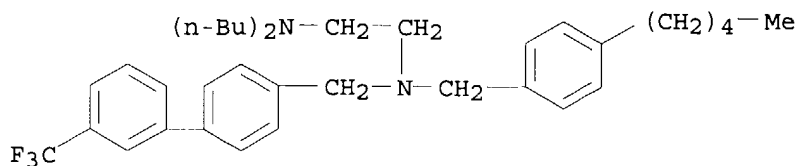
RN 422524-26-3 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[(3'-methyl[1,1'-biphenyl]-4-yl)methyl]-4-pentyl- (9CI) (CA INDEX NAME)



RN 422524-27-4 CAPLUS

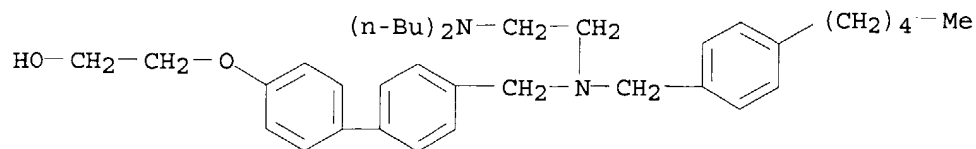
CN 1,2-Ethanediamine, N,N-dibutyl-N'-[(4-pentylphenyl)methyl]-N'-[[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 422524-28-5 CAPLUS

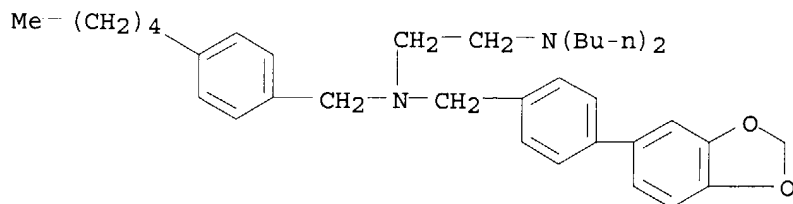
CN Ethanol, 2-[[4'-[[[2-(dibutylamino)ethyl][(4-pentylphenyl)methyl]amino]met

hyl][1,1'-biphenyl]-4-yl]oxy] - (9CI) (CA INDEX NAME)



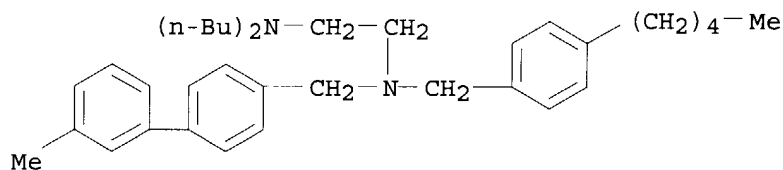
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CN 1,2-Ethanediamine, N-[[4-(1,3-benzodioxol-5-yl)phenyl)methyl]-N',N'-dibutyl-N-[(4-pentylphenyl)methyl]- (9CI) (CA INDEX NAME)



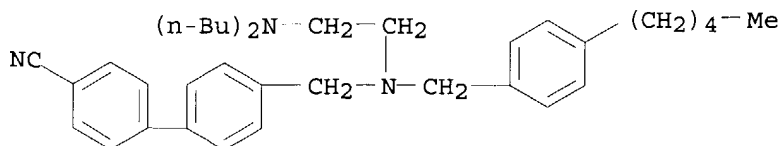
RN 422524-30-9 CAPLUS

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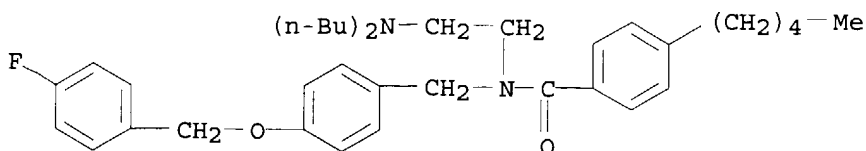
RN 422524-31-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 4'-[[[2-(dibutylamino)ethyl][(4-pentylphenyl)methyl]amino]methyl]- (9CI) (CA INDEX NAME)



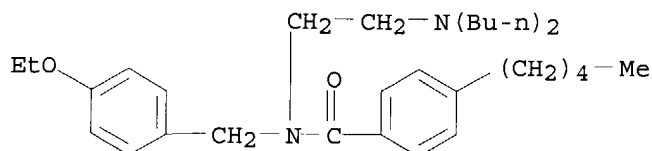
RN 422524-33-2 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[[4-[(4-fluorophenyl)methoxy]phenyl)methyl]-4-pentyl- (9CI) (CA INDEX NAME)



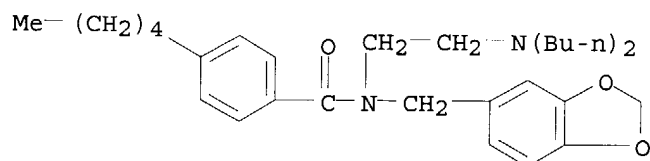
RN 422524-34-3 CAPLUS

CN Benzamide, N-[2-(dibutylamino)ethyl]-N-[(4-ethoxyphenyl)methyl]-4-pentyl-  
(9CI) (CA INDEX NAME)



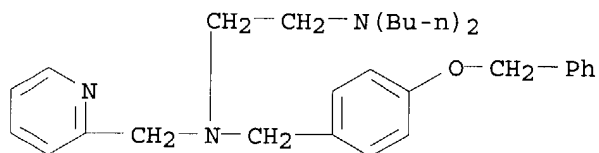
RN 422524-35-4 CAPLUS

CN Benzamide, N-(1,3-benzodioxol-5-ylmethyl)-N-[2-(dibutylamino)ethyl]-4-pentyl- (9CI) (CA INDEX NAME)



RN 422524-36-5 CAPLUS

CN 1,2-Ethanediamine, N,N-dibutyl-N'-[[4-(phenylmethoxy)phenyl)methyl]-N'-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:680066 CAPLUS

DN 135:318498

TI Preparation of aryl-isoxazolyl-amines and use as thrombin receptor antagonists

IN Barrow, James C.; Connolly, Thomas; Freidinger, Roger M.; Nantermet, Philippe G.; Selnick, Harold G.

PA Merck & Co., Inc., USA

SO Brit. UK Pat. Appl., 124 pp.

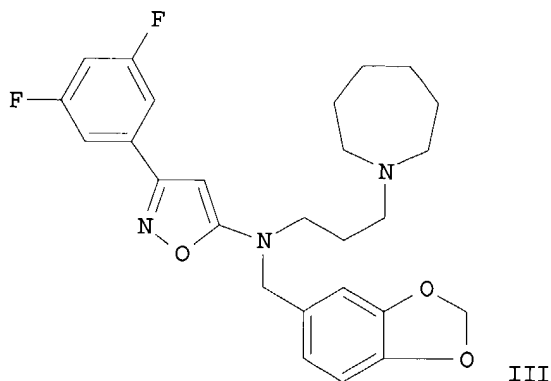
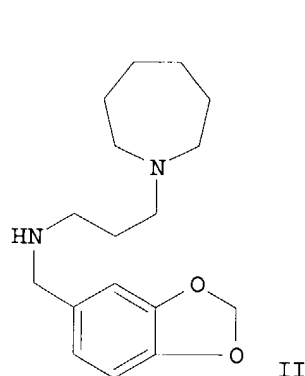
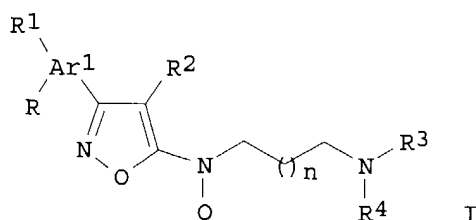
CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2356198	A1	20010516	GB 2000-26327	20001027
	US 6544982	B1	20030408	US 2000-698811	20001027
PRAI	US 1999-162586P	P	19991029		
OS	MARPAT 135:318498				
GI					



AB Title compds. I [ $n = 0 - 2$ ; R, R1 = H, alkyl, alkoxy, aryl, halo, CF<sub>3</sub>, etc. or R, R1 form a 5-membered heterocyclic ring having 1 or 2 heteroatoms; R2 = H, alkyl, alkoxy, halo or CN; R3, R4 = H, alkyl, alkanol, alkenyl, cycloalkyl, etc. or R3 in combination with R4 may form a ring system selected from (un)saturated (un)substituted 4 - 8 membered ring; Q = C(O)alkyl, alkyl, alkyl-cyclo-alkyl or (CH<sub>2</sub>)<sub>m</sub>-Ar<sub>2</sub>(R<sub>6</sub>)-R<sub>5</sub>; Ar<sub>1-2</sub> = (hetero)aryl; R<sub>5</sub>, R<sub>6</sub> = H, alkyl, alkoxy, CN, OCF<sub>3</sub>, etc. or R<sub>5</sub>, R<sub>6</sub> form a 5-membered heterocyclic ring having 1 or 2 heteroatoms;  $m = 0 - 2$ ] were prepared. Examples include over 200 synthetic examples and 3 formulations. For instance, Et 3,5-difluorobenzoylacetate was prepared from 3,5-difluoroacetophenone (NaH, EtO<sub>2</sub>CO, 80°C, 2 h, 85%) and reacted with hydroxylamine (HOAc, reflux, 1 h) to give 3-(3,5-difluorophenyl)-5-chloroisoxazole (35%). III was prepared from this isoxazole and amine II (preparation given) (n-BuLi, Et<sub>2</sub>O, 0°C, 30 min) and isolated as the HCl salt in 63% yield. I are useful as thrombin inhibitors (no data).

IT **359422-14-3P 359423-78-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug; preparation of aryl-isoxazolyl-amines and use as thrombin receptor antagonists)

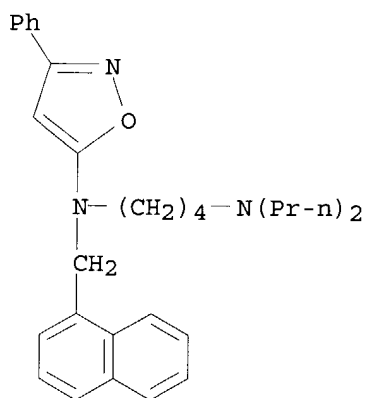
RN 359422-14-3 CAPLUS

CN 1,3-Propanediamine, N-[(3-methoxyphenyl)methyl]-N-(3-phenyl-5-isoxazolyl)-N',N'-dipropyl- (9CI) (CA INDEX NAME)

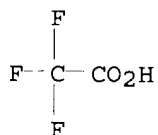
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CN 1,4-Butanediamine, N-(1-naphthalenylmethyl)-N-(3-phenyl-5-isoxazolyl)-N',N'-dipropyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 359423-77-1  
CMF C30 H37 N3 O



CRN 76-05-1  
CMF C2 H F3 O2



L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:416763 CAPLUS  
DN 135:33362  
TI Preparation of tertiary amino compounds having opioid receptor affinity  
IN Kyle, Donald; Goehring, R. Richard; Victory, Sam  
PA Euro-Celtique, S.A., Luxembourg

SO PCT Int. Appl., 15 pp.

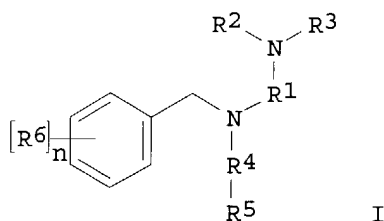
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001039767	A1	20010607	WO 2000-US33047	20001206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2001041746	A1	20011115	US 2000-730814	20001206
	EP 1244437	A1	20021002	EP 2000-983942	20001206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004501060	T2	20040115	JP 2001-541500	20001206
PRAI	US 1999-169396P	P	19991206		
	WO 2000-US33047	W	20001206		
OS	MARPAT 135:33362				
GI					



AB The title compds. [I; R1 = a bond, alkenylene, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4 = a bond, alkenylene, etc.; R5 = H, 5-6 membered (hetero)aryl, cycloalkyl; R6 = alkyl, cycloalkyl, halo; n = 0-3], useful for the treatment of chronic and acute pain, were prepared. Thus, reacting benzaldehyde with 3-(dibutylamino)propylamine in the presence of NaBH<sub>4</sub>, 3Å mol. sieves in MeOH followed by amidation of the resulting I [R1 = (CH<sub>2</sub>)<sub>3</sub>; R2, R3 = Bu; R4 = a bond; R5, R6 = H] with phenylacetic acid in the presence of EDCI and DMAP in THF afforded I [R1 = (CH<sub>2</sub>)<sub>3</sub>; R2, R3 = Bu; R4 = COCH<sub>2</sub>; R5 = Ph; R6 = H] which showed K<sub>i</sub> of 40 nM against opioid receptor  $\mu$  binding.

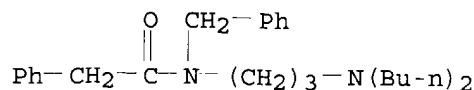
IT 343593-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tertiary amino compds. having opioid receptor affinity)

RN 343593-68-0 CAPLUS

CN Benzeneacetamide, N-[3-(dibutylamino)propyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

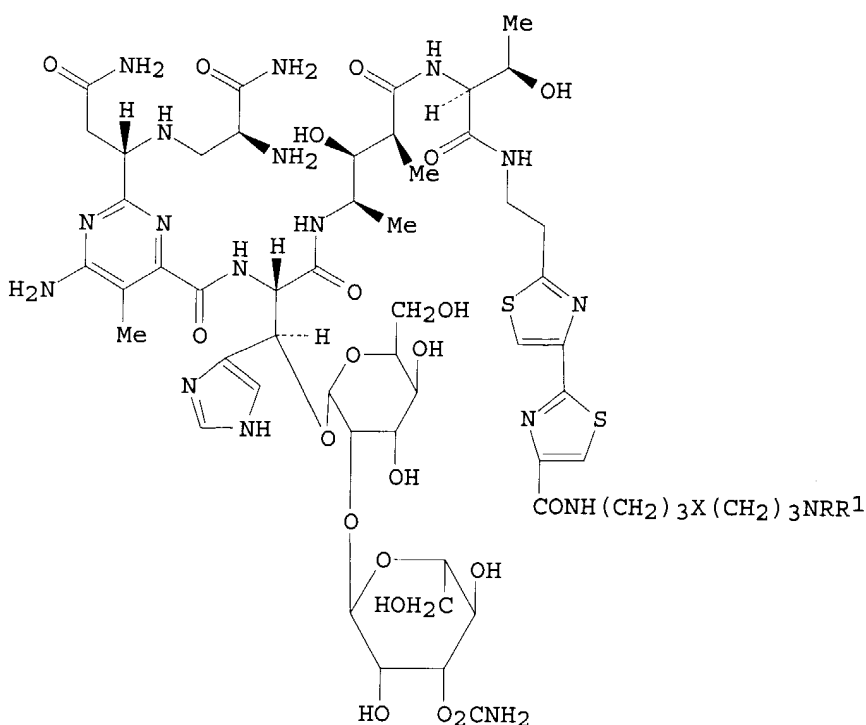


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1984:23013 CAPLUS  
DN 100:23013  
TI Aminopropylaminobleomycin derivatives  
IN Umezawa, Hamao; Fujii, Akio; Muraoka, Yasuhiko; Nakatani, Tokuji; Fukuoka, Takeyo; Takahashi, Katsutoshi  
PA Microbiochemical Research Foundation, Japan  
SO Ger. Offen., 76 pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 3247199	A1	19830707	DE 1982-3247199	19821221
	JP 58116497	A2	19830711	JP 1981-210449	19811229
	JP 63006078	B4	19880208		
	CA 1244824	A1	19881115	CA 1982-417731	19821215
	NL 8204857	A	19830718	NL 1982-4857	19821216
	CH 657859	A	19860930	CH 1982-7478	19821222
	GB 2112781	A1	19830727	GB 1982-36626	19821223
	GB 2112781	B2	19851218		
	SE 8207408	A	19830630	SE 1982-7408	19821227
	SE 465034	B	19910715		
	SE 465034	C	19911107		
	ES 518580	A1	19840201	ES 1982-518580	19821227
	AT 8204693	A	19850815	AT 1982-4693	19821227
	AT 380021	B	19860325		
	DK 8205764	A	19830630	DK 1982-5764	19821228
	HU 27462	O	19831028	HU 1982-4179	19821228
	HU 187836	B	19860228		
	CS 237334	B2	19850716	CS 1982-9910	19821228
	IL 67581	A1	19860331	IL 1982-67581	19821228
	FR 2519638	A1	19830718	FR 1982-22035	19821229
	FR 2519638	B1	19851129		
	US 4537880	A	19850827	US 1984-635096	19840727
	US 4568490	A	19860204	US 1985-743738	19850612
PRAI	JP 1981-210449		19811229		
	US 1982-453254		19821227		
	US 1984-635096		19840727		

GI



I

AB Bleomycins I (X = amino, piperazino, aminoalkylamino; NRR1 = amino) (53 compds.) and their Cu chelates were prepared. Thus, I (X = NMe, R = R1 = H) was reductively alkylated with cycloundecanecarboxaldehyde to give I Cu chelate (X = NMe, R = cycloundecylmethyl, R1 = H) which was converted to its Cu-free form (II). II caused 50% inhibition of He-La cell growth at 0.58 µg/mL and caused no pulmonary fibrosis in mice at 10 + 5 mg/kg.

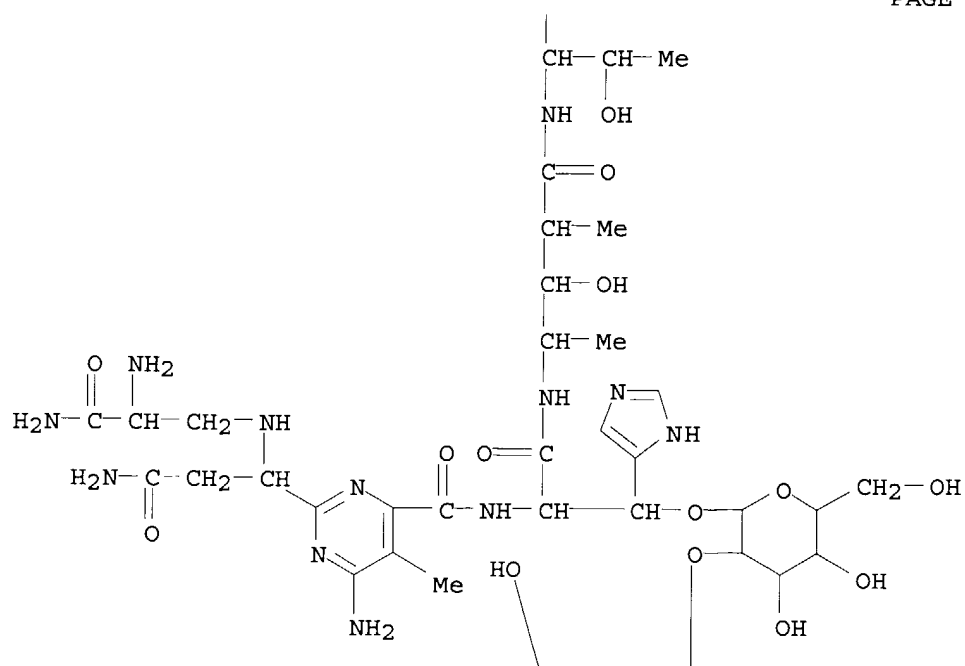
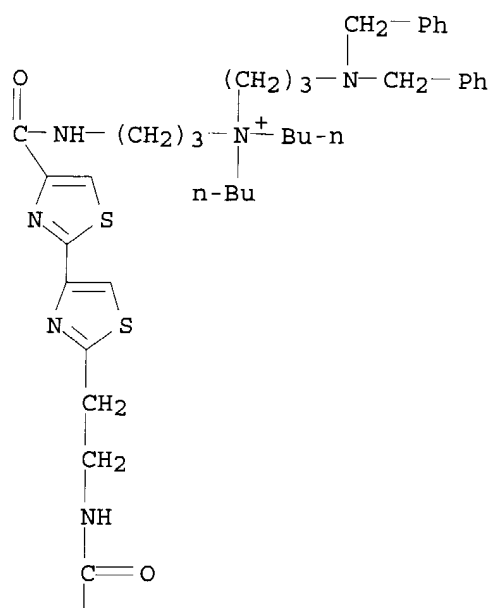
IT 88033-80-1P

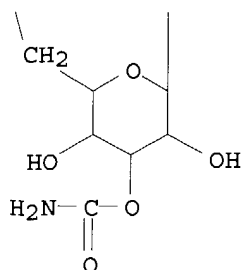
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and bactericidal and antitumor activity of)

RN 88033-80-1 CAPLUS

CN    Bleomycinamide, N1-[3-[3-[bis(phenylmethyl)amino]propyl]dibutylammonio]propyl]- (9CI)    (CA INDEX NAME)

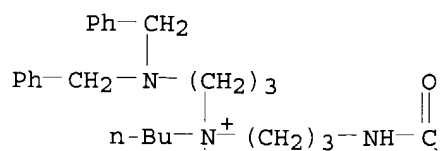




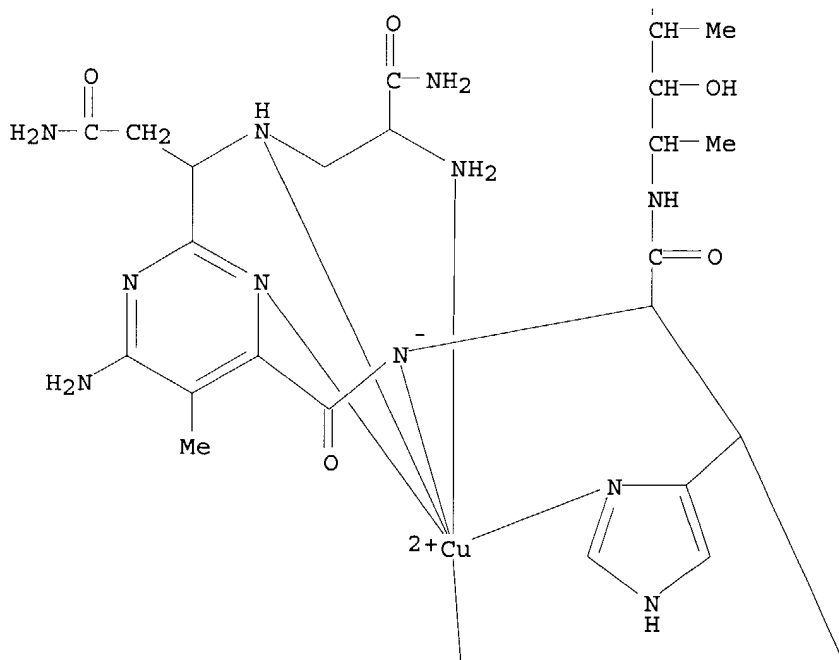
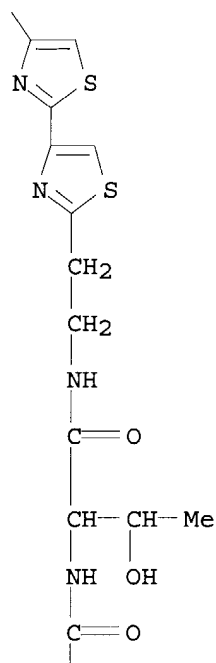
IT **88003-70-7P**RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and chromatog. and electrophoresis of)

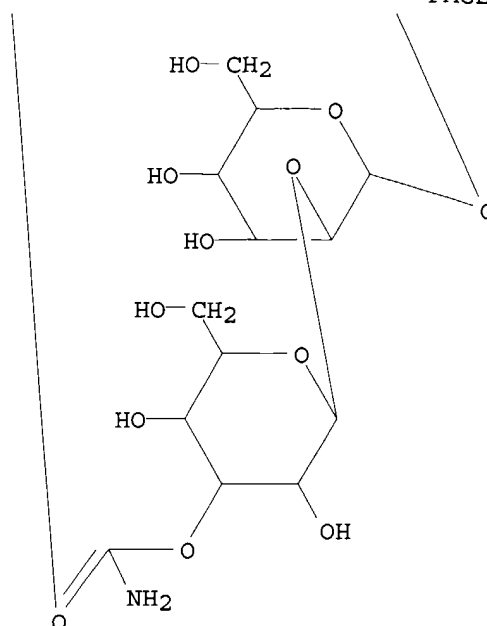
RN 88003-70-7 CAPLUS

CN Copper(2+), [N1-[3-[[3-[bis(phenylmethyl)amino]propyl]dibutylammonio]propyl]bleomycinamidato]- (9CI) (CA INDEX NAME)

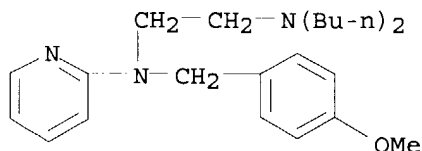


n-Bu



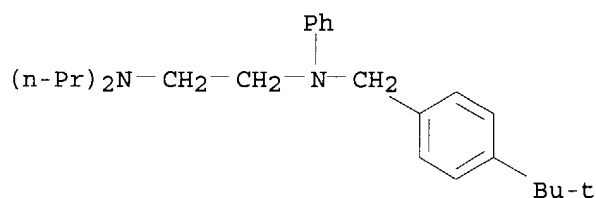


L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1982:435554 CAPLUS  
 DN 97:35554  
 TI Sources of error in quantitative mass spectrometry  
 AU Millard, B. J.  
 CS Lombardy Sci. Comput., Berkhamsted/Berks., UK  
 SO Comm. Eur. Communities, [Rep.] EUR (1982), EUR 7137, Appl. Mass Spectrom.  
 Trace Anal., 163-79  
 CODEN: CECED9  
 DT Report  
 LA English  
 AB Sources of error in mass spectroscopy of biol. compds. are discussed with  
 regard to adsorption on glass, decomposition on the gas chromatograph, exchange  
 of label, and internal standard selection.  
 IT **69569-89-7**  
 RL: PROC (Process)  
 (extraction of, from blood plasma, mepyramine compared to)  
 RN 69569-89-7 CAPLUS  
 CN 1,2-Ethanediamine, N,N-dibutyl-N'-[(4-methoxyphenyl)methyl]-N'-2-pyridinyl-  
 (9CI) (CA INDEX NAME)

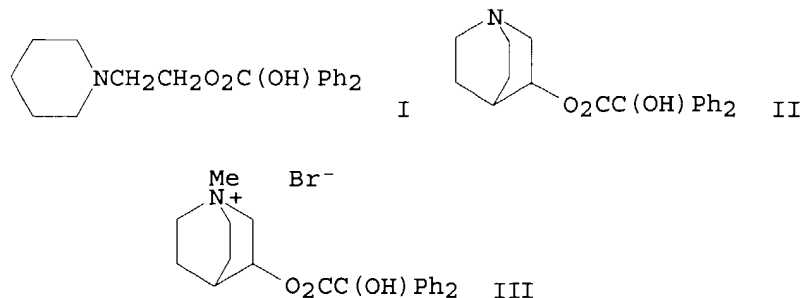


L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1979:456187 CAPLUS  
 DN 91:56187  
 TI A study of molecular folding in aqueous solution, using the fragmentation  
 constant  
 AU Tute, M. S.; Canas-Rodriguez, A.

- CS Pfizer Cent. Res., Sandwich/Kent, UK  
 SO Abhandlungen der Akademie der Wissenschaften der DDR, Abteilung  
 Mathematik, Naturwissenschaften, Technik (1978), (2N, Quant. Struct.-Act.  
 Anal.), 53-7  
 CODEN: AAWTD2; ISSN: 0138-1059  
 DT Journal  
 LA English  
 AB The slope for regression anal. of the logarithm of the partition coefficient  
 (P) of p-Me<sub>3</sub>CC<sub>6</sub>H<sub>4</sub>CH(CH<sub>2</sub>CH<sub>2</sub>R)Ph (I; R = NMe<sub>2</sub>, CH<sub>2</sub>NMe<sub>2</sub>, pyrrolidino,  
 piperidino) between n-octanol and an aqueous buffer vs. the hydrophobic  
 fragmental constant (f) is .apprx.1, indicating that aqueous I does not have a  
 folded conformation. The regression anal. of log p vs. f has a slope <1  
 for p-Me<sub>3</sub>CC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>R)Ph (II; R = NMe<sub>2</sub>, NEt<sub>2</sub>, NPr<sub>2</sub>, pyrrolidino,  
 piperidino), indicating that aqueous II exists in a folded conformation.  
 IT **47552-17-0**  
 RL: PRP (Properties)  
 (partition coefficient of, between n-octanol and aqueous buffer, folded  
 conformation in relation to hydrophobic fragmental consts. and)  
 RN 47552-17-0 CAPLUS  
 CN 1,2-Ethanediamine, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-N-phenyl-N',N'-  
 dipropyl- (9CI) (CA INDEX NAME)



- L7 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1979:136833 CAPLUS  
 DN 90:136833  
 TI Sources of error and criteria for the selection of internal standards for  
 quantitative mass spectrometry. Part II  
 AU Millard, Brian J.  
 CS Div. Drug Chem., Food and Drug Adm., Washington, DC, USA  
 SO GC-MS News (1978), 6(6), 80-5  
 CODEN: GMNEDS; ISSN: 0388-1288  
 DT Journal  
 LA English  
 GI

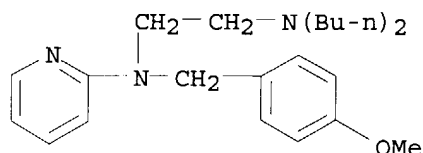


AB Internal stds. for quant. mass spectrometry may be stable isotope labeled analogs of the compound of interest, homologs of that compound, or compds. from the same chemical class as the compound of interest. For example, I is used as the internal standard in the measurement of the impurity II in anal. of clidinium bromide (III).

IT **69569-89-7**  
 RL: PRP (Properties)  
 (mass spectrum of, in presence of analog)

RN 69569-89-7 CAPLUS

CN 1,2-Ethanediamine, N,N-dibutyl-N'-[(4-methoxyphenyl)methyl]-N'-2-pyridinyl-  
 (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:405140 CAPLUS

DN 77:5140

TI Antihistaminic monoalkyl-substituted diarylamino- and aryl  
 [(4-alkylbenzyl)amino]alkylamines

IN Cross, Peter E.; Leeming, Peter R.

PA Pfizer Corp.

SO Ger. Offen., 21 pp.  
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2141795	A	19720302	DE 1971-2141795	19710820
	GB 1306450	A	19730214	GB 1970-40514	19700822
	BE 771152	A1	19720210	BE 1971-106924	19710810
	FR 2103448	A1	19720414	FR 1971-30402	19710820
	FR 2103448	A5	19720414		
PRAI	GB 1970-40514		19700822		

GI For diagram(s), see printed CA Issue.

AB The amines (I) [R = Et, Me; R1 = Me3C, Me3CCH2; (NR2) = piperidino, 1-pyrrolidinyl, tetrahydro-1H-azepin-1-yl; n = 1,2,3; m = 0] were prepared from p-Me3CC6H4NHPH by treatment with Cl(CH2)nNR2 in the presence of NaH. Similarly prepared were other I [R = Et, Pr, Me; R1 = Me3C, Me3CCH2; (NR2) = tetrahydro-1H-azepin-1-yl, 1-pyrrolidinyl; n = 2, m = 1].

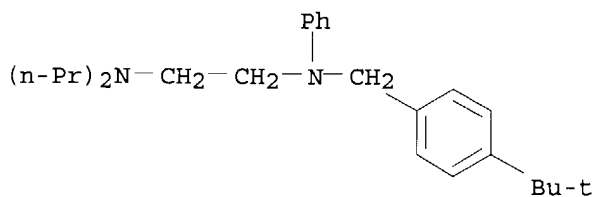
IT **36716-54-8P 36735-45-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 36716-54-8 CAPLUS

CN 1,2-Ethanediamine, N-[[4-(1,1-dimethylethyl)phenyl)methyl]-N-phenyl-N',N'-  
 dipropyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

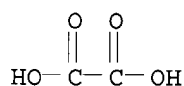
CRN 47552-17-0  
 CMF C25 H38 N2



CM 2

CRN 144-62-7

CMF C2 H2 O4



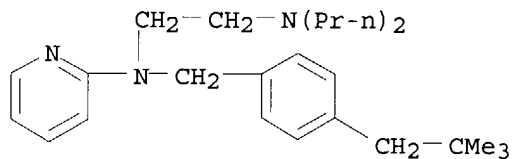
RN 36735-45-2 CAPLUS

CN 1,2-Ethanediamine, N-[[4-(2,2-dimethylpropyl)phenyl]methyl]-N',N'-dipropyl-N-2-pyridinyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47589-32-2

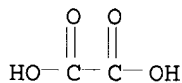
CMF C25 H39 N3



CM 2

CRN 144-62-7

CMF C2 H2 O4



L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1970:78788 CAPLUS

DN 72:78788

TI Chemotherapy with fluorinated compounds. II. Fluorinated aromatic derivatives of ethylene diamine

AU Maziere, Mariannick; Maziere, Bernard; Ta-Thu-Cuc; Nyugen-Dat-Xuong

CS Inst. Chem. Subst. Natur., CNRS, Gif-sur-Yvette, Fr.

SO Chimica Therapeutica (1969), 4(5), 349-52

CODEN: CHTPBA; ISSN: 0009-4374

DT Journal

LA French

AB Twelve fluorinated aromatic ethylenediamine derivs. (2-C<sub>5</sub>H<sub>4</sub>N)(ArCH<sub>2</sub>)NCH<sub>2</sub>CH<sub>2</sub>-NR<sub>2</sub> (I) were prepared by condensation of fluoroalkoxybenzaldehydes with 2-aminopyridine, reduction of the resulting Schiff base with HCO<sub>2</sub>H, and condensation of the resulting secondary amine with ClCH<sub>2</sub>CH<sub>2</sub>NR<sub>2</sub>. I prepared were (Ar, R, b.p./mm, and n<sub>20</sub>D given): 3,4-F(PrO)C<sub>6</sub>H<sub>3</sub>, Et, 179°/0.35, 1.5408; 3,4-F(PrO)-C<sub>6</sub>H<sub>3</sub>, iso-Pr, 188°/0.4, 1.5385; 3,4-F(PrO)C<sub>6</sub>H<sub>3</sub>, Bu, 212°/0.7, 1.5313; 3,4-F(BuO)C<sub>6</sub>H<sub>3</sub>, Et, 175°/0.03, 1.5424; 3,4-F(BuO)-C<sub>6</sub>H<sub>3</sub>, iso-Pr, 193°/0.35, 1.5368; 3,4-F(BuO)C<sub>6</sub>H<sub>3</sub>, Bu, 200°/0.25, 1.5280; 2,5-(PrO)FC<sub>6</sub>H<sub>3</sub>, Et, 170°/0.25, 1.5433; 2,5-(PrO)FC<sub>6</sub>H<sub>3</sub>, iso-Pr, 175°/0.25, 1.5373; 2,5-(PrO)FC<sub>6</sub>H<sub>3</sub>, Bu, 179°/0.03, 1.5287; 2,5-(BuO)FC<sub>6</sub>H<sub>3</sub>, Et, 168°/0.15, 1.5451; 2,5-(BuO)FC<sub>6</sub>H<sub>3</sub>, iso-Pr, 168°/0.03, 1.5328; and 2,5-(BuO)FC<sub>6</sub>H<sub>3</sub>, Bu, 186°/0.2, 1.5259. These compds. were evaluated for local anesthetic, antihistamine, and antimicrobial activity.

IT 24740-94-1 24740-95-2 25472-18-8

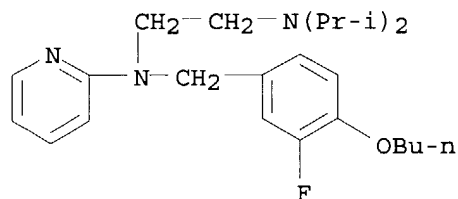
25472-20-2 25472-23-5 25472-24-6

26593-26-0 26593-27-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(chemotherapeutic activity)

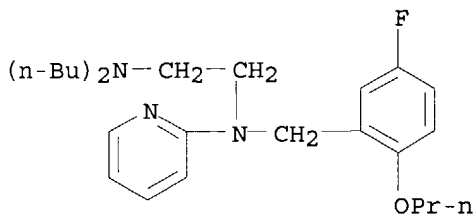
RN 24740-94-1 CAPLUS

CN 1,2-Ethanediamine, N-[(4-butoxy-3-fluorophenyl)methyl]-N',N'-bis(1-methylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)



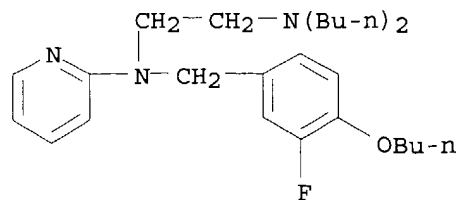
RN 24740-95-2 CAPLUS

CN 1,2-Ethanediamine, N,N-dibutyl-N'-[(5-fluoro-2-propoxyphenyl)methyl]-N'-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 25472-18-8 CAPLUS

CN Pyridine, 2-[(4-butoxy-3-fluorobenzyl)[2-(dibutylamino)ethyl]amino]- (8CI)  
(CA INDEX NAME)

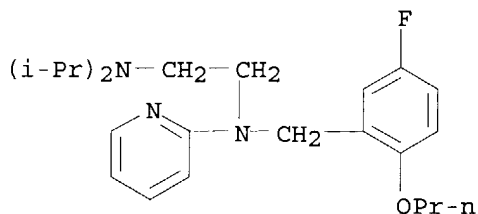




765

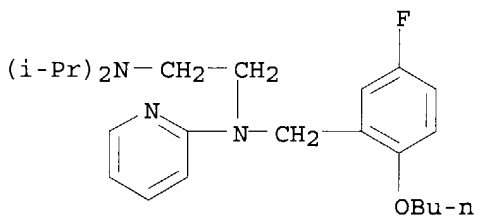
RN 25472-20-2 CAPLUS

CN Pyridine, 2-[[2-(diisopropylamino)ethyl] (5-fluoro-2-propoxybenzyl) amino] -  
(8CI) (CA INDEX NAME)



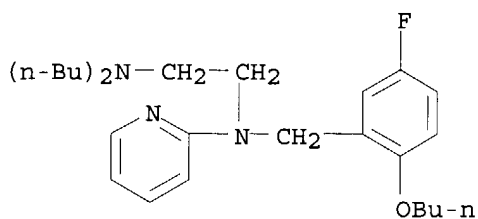
RN 25472-23-5 CAPLUS

CN Pyridine, 2-[(2-butoxy-5-fluorobenzyl) [2-(diisopropylamino)ethyl] amino] -  
(8CI) (CA INDEX NAME)



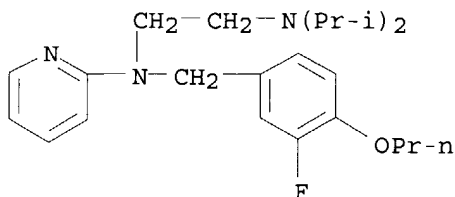
RN 25472-24-6 CAPLUS

CN Pyridine, 2-[(2-butoxy-5-fluorobenzyl) [2-(dibutylamino)ethyl] amino] - (8CI)  
(CA INDEX NAME)



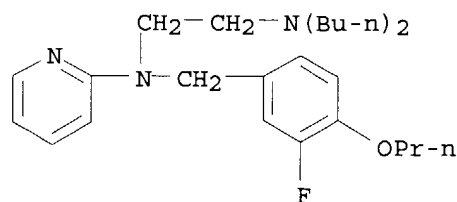
RN 26593-26-0 CAPLUS

CN Pyridine, 2-[[2-(diisopropylamino)ethyl] (3-fluoro-4-propoxybenzyl) amino] -  
(8CI) (CA INDEX NAME)



RN 26593-27-1 CAPLUS

CN Pyridine, 2-[[2-(dibutylamino)ethyl] (3-fluoro-4-propoxybenzyl) amino] -  
(8CI) (CA INDEX NAME)



L7 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1968:443880 CAPLUS  
 DN 69:43880  
 TI Fluorine chemotherapy: new aromatic fluorinated derivatives of ethylenediamine  
 AU Maziere, B.; Dat-Xuong, N.  
 CS Inst. Chim. Subst. Natur., C.N.R.S., Gif-sur-Yvette, Fr.  
 SO Chim. Ther. (1968), 3(1), 1-9  
 CODEN: CHTQAC  
 DT Journal  
 LA French  
 GI For diagram(s), see printed CA Issue.  
 AB I, where R1 or R3 is F, are prepared and converted into the corresponding II. III, IV, and V are also prepared. Thus, HCl gas is introduced into a mixture of 60 g. o-MeC6H4F, 200 ml. ether, 88 ml. 40% H2CO, 10 g. ZnCl2, and 1 g. NaCl at 20-5° to give 85% 3-fluoro-4-methoxy- $\alpha$ -chlorotoluene (VI), b13 122°, m. 36° (pentane). Similarly prepared are the following I [R, R1, R2, R3, b.p./mm., nD (temperature) and % yield given]: H, F, EtO, H, 130°/17, 1.524 (20°), 70; H, F, PrO, H, 140°/16, 1.513 (23°), 68; H, F, BuO, H, 145°/13, 1.509 (21°), 60; MeO, H, H, F, 106°/10, - [m. 50° (heptane)], 78; EtO, H, H, F, 113°/11, 1.510 (22°), 66; PrO, H, H, F, 134°/20, 1.504 (22°), 65; and BuO, H, H, F, 114°/17, 1.502 (23°), 57. A mixture of 44 g. VI and 35.3 g. hexamethylenetetramine in 110 ml. CHCl3 is refluxed 1 hr. and worked up to give 69% 3-fluoro-4-methoxybenzaldehyde (VII), m. 31°; 2,4-dinitrophenylhydrazone m. 199°; thiosemicarbazone m. 194°. Similarly prepared are the following II (R, R1, R2, R3, b.p./mm., m.p., m.p. thiosemicarbazone, and % yield given): H, F, EtO, H, 138°/13, 29°, 191°, 50; H, F, PrO, H, 142°/14 (n22D 1.530), -, 173°, 35; H, F, BuO, H, 150°/13 (n21.5D 1.523), -, 156°, 30; MeO, H, H, F, 118°/14, 47°, 224°, 47; EtO, H, H, F, 130°/14, 48°, 216°, 49; PrO, H, H, F, 141°/20, 30°, 163°, 36; and BuO, H, H, F, 145°/13 (n25D 1.508), -, 150°, 31. A solution of 1.10 g. VII thiosemicarbazone, 1.20 g. ClCH2CO2H, and 2 g. NaOAc in 20 ml. EtOH is refluxed 1 hr. to give III (R = R3 = H, R1 = F, R2 = MeO), m. 302°. Similarly prepared are the following III (R, R1, R2, R3, and m.p. given): H, F, EtO, H, 258°; H, F, PrO, H, 242°; H, F, BuO, H, 217°; MeO, H, H, F, 269°; EtO, H, H, F, 240°; PrO, H, H, F, 231°; and BuO, H, H, F, 228°. The following IV (Ar = 2-pyridyl) were prepared (R, R1, R2, R3, m.p., and % yield given): H, F, MeO, H, 113°, 75; H, F, EtO, H, 88.5°, 78; H, F, PrO, H, 75°, 71; H, F, BuO, H, 62.5°, 68; MeO, H, H, F, 77°, 80; EtO, H, H, F, 77.5°, 85; PrO, H, H, F, 70°, 72; and BuO, H, H, F, 58°, 70. The following IV (Ar = 2-pyrimidyl) were prepared (R, R1, R2, R3, m.p., and % yield given): H, F, MeO, H, 91.5°, 85; H, F, EtO, H, 107° 83; MeO, H, H, F, 92°, 82; and EtO, H, H, F, 102.5°, 80. [IV were prepared according to A. E. Chichibabin and I. L. Knunyants (1931)]. IV are treated with LiNH2 and the lithio derivs.

obtained are condensed with compds. of the formula  $\text{ClCH}_2\text{CH}_2\text{NR}_{42}$  to give N-(3-fluoro-4-methoxybenzyl)-N-(2-pyridyl)-N',N'-dimethylethylenediamine (b.p. 63°, n<sub>D</sub> 1.568; HCl salt m. 145°, di-HCl salt m. 114°) and the following V (Ar = 2-pyridyl) [R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> or NR<sub>42</sub>, b.p./mm., n<sub>D</sub> (temperature), m.p. HCl salt, and m.p. di-HCl salt given]:

H,

F, MeO, H, Et, 178°/0.09, 1.558 (22°), 128°, 110°; H, F, MeO, H, iso-Pr, 188°/0.2, 1.548 (22°), 140°, 117°; H, F, MeO, H, piperidino, 202°/0.2, 1.573 (20.5°), 165°, 124°; H, F, MeO, H, morpholino, 208°/0.2, 1.575 (20°), 158.5°, 139°; H, F, EtO, H, Me, 161°/0.4, 1.560 (23°), 144°, 108°; H, F, EtO, H, Et, 180°/0.1, 1.550 (22°), 127°, 115°; H, F, PrO, H, Me, 170°/0.5, 1.556 (19.5°), 116.5°, 76°; H, F, BuO, H, Me, 182°/0.5, 1.551 (22°), 108°, -; MeO, H, H, F, Me, 163°/0.1, 1.565 (25°), 174°, 143°; MeO, H, H, F, Et, 166°/0.1, 1.553 (23°), 138.5°, 121°; MeO, H, H, F, iso-Pr, 171°/0.5, 1.544 (22°), 143°, 122°; MeO, H, H, F, piperidino, 182°/0.4, 1.569 (22°), 179°, 126.5°; MeO, H, H, F, morpholino, 200°/0.6, 1.571 (21°), 171°, 138°; EtO, H, H, F, Me, 159°/0.6, 1.557 (26.5°), 152°, 116°; EtO, H, H, F, Et, 169°/0.5, 1.547 (21°), 118°, -; PrO, H, H, F, Me, 164°/0.6, 1.554 (22°), 114°, -; and BuO, H, H, F, Me, 171°/0.5, 1.553 (19°), 105°, -. The following V (Ar = 2-pyrimidyl) were prepared (same data given): H, F, MeO, H, Me, 160°/0.2, 1.556 (22.5°), 114.5°, 77.5°; H, F, MeO, H, Et, 170°/0.2, 1.550 (22°), 105.5°, 65°; H, F, EtO, H, Me, 160°/0.5, 1.558 (22°), 144°, 93°; H, F, EtO, H, EtO, H, Et, 170°/0.5, 1.542 (21°), 100°, -; MeO, H, H, F, Me, 150°/0.5, 1.558 (22.5°), 178°, 153.3°; MeO, H, H, F, Et, 158°/0.4, 1.544 (22.5°), 147°, -; EtO, H, H, F, Me, 151°/0.1, 1.558 (23.5°), 158°, 145°; and EtO, H, H, F, Et, 166°/0.1, 1.540 (23°), 142°, 130°.

IT

19409-40-6P 19409-41-7P 19409-42-8P

19409-75-7P 19409-76-8P 19423-08-6P

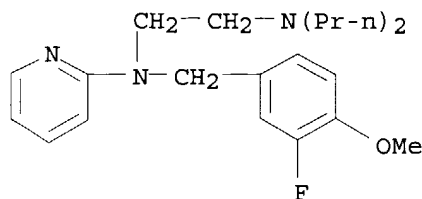
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN

19409-40-6 CAPLUS

CN

Pyridine, 2-[[2-(dipropylamino)ethyl](3-fluoro-4-methoxybenzyl)amino]-(8CI) (CA INDEX NAME)

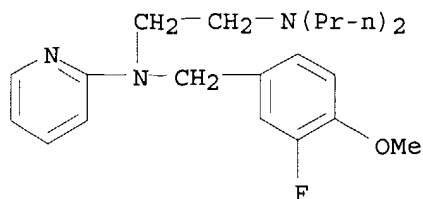


RN

19409-41-7 CAPLUS

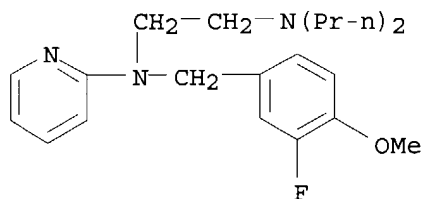
CN

Pyridine, 2-[[2-(dipropylamino)ethyl](3-fluoro-4-methoxybenzyl)amino]-, monohydrochloride (8CI) (CA INDEX NAME)



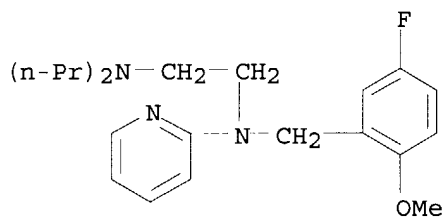
● HCl

RN 19409-42-8 CAPLUS  
 CN Pyridine, 2-[[2-(dipropylamino)ethyl](3-fluoro-4-methoxybenzyl)amino]-,  
 dihydrochloride (8CI) (CA INDEX NAME)

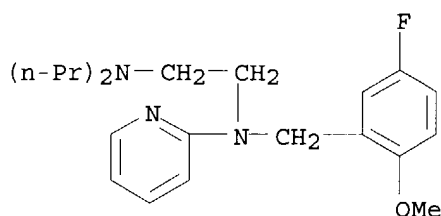


● 2 HCl

RN 19409-75-7 CAPLUS  
 CN Pyridine, 2-[[2-(dipropylamino)ethyl](5-fluoro-2-methoxybenzyl)amino]-,  
 (8CI) (CA INDEX NAME)

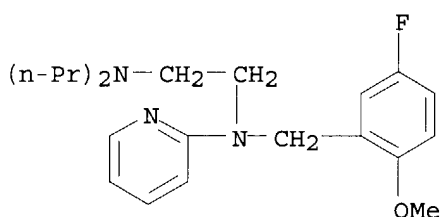


RN 19409-76-8 CAPLUS  
 CN Pyridine, 2-[[2-(dipropylamino)ethyl](5-fluoro-2-methoxybenzyl)amino]-,  
 monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 19423-08-6 CAPLUS  
 CN Pyridine, 2-[[2-(dipropylamino)ethyl](5-fluoro-2-methoxybenzyl)amino]-, dihydrochloride (8CI) (CA INDEX NAME)

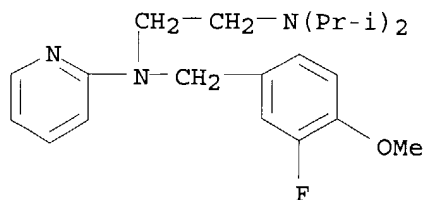


● 2 HCl

L7 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1968:409492 CAPLUS  
 DN 69:9492  
 TI Local anesthetic activity of fluoro derivatives of tetrasubstituted ethylenediamine  
 AU Quevauviller, Andre; Maziere, B.; Maziere, M.  
 CS Fac. Pharm., Paris, Fr.  
 SO Anesthesie, Analgesie, Reanimation (1968), 25(1), 53-9  
 CODEN: AAREAV; ISSN: 0003-3014  
 DT Journal  
 LA French  
 AB Twenty-six fluorinated, tetrasubstituted ethylenediamines were tested for local anesthetic activity on the rabbit cornea, at 5 + 10<sup>-5</sup> moles/ml. N-(5-Fluoro-2-butoxybenzyl)-N-(2-pyrimidinyl)-N', N'-dimethylethylenediamine (I) was the most active compound (2-fold more active than procaine); all the derivs. had some activity, except N-(5-fluoro-2-ethoxybenzyl)-N-(2-pyrimidinyl)-N', N'-dimethylethylenediamine. No relation was found between the intensity of the anesthetic activity and the pH, surface tension activities, or the antihistamine activity of the test compds.; I had only weak antihistamine activity, while N-(3-fluoro-4-ethoxybenzyl)-N-(2-pyrimidinyl)-N', N'-dimethylethylenediamine, which has high antihistamine activity, had only a weak anesthetic activity.  
 IT 19448-06-7 19448-13-6  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anesthetic activity of)

RN 19448-06-7 CAPLUS

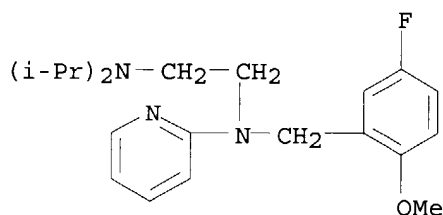
CN Pyridine, 2-[[2-(diisopropylamino)ethyl] (3-fluoro-4-methoxybenzyl) amino]-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 19448-13-6 CAPLUS

CN Pyridine, 2-[[2-(diisopropylamino)ethyl] (5-fluoro-2-methoxybenzyl) amino]-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L7 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1968:94500 CAPLUS

DN 68:94500

TI Antihistamine and antispasmodic activities and toxicity of fluorinated derivatives of ethylenediamine

AU Maziere, Bernard; Maziere, Mariannick

CS Lab. Hyg. Educ. Sanit., Fac. Pharm. Paris, Paris, Fr.

SO Chim. Ther. (1967), 2(5), 336-42

CODEN: CHTQAC

DT Journal

LA French

GI For diagram(s), see printed CA Issue.

AB Antihistaminic and antispasmodic activities and toxicities are examined for 26 fluorinated derivs. of ethylenediamine having the formula I, where R = Me, Et, iso-Pr or NR2 = piperidino or morpholino, X = CH or N, and R1 ≠ Me, Et, Pr, or Bu. The introduction of 1 atom of F is accompanied by a decrease in antihistaminic activity, an increase in musculotropic spasmolytic properties, and no change in acute toxicity. Lengthening the alkoxy radical proportionally decreases the acute toxicity, but is accompanied also by a decrease in antihistaminic activity.

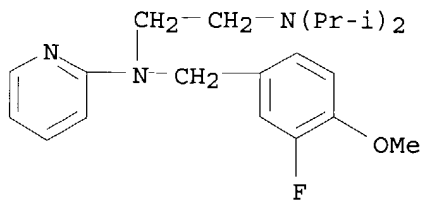
IT 19448-06-7 19448-13-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(antihistaminic and antispasmodic activity of)

765

RN 19448-06-7 CAPLUS

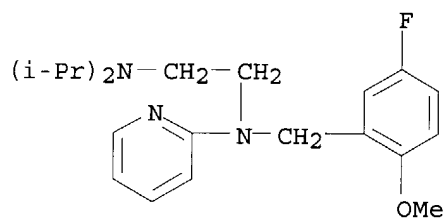
CN Pyridine, 2-[[2-(diisopropylamino)ethyl] (3-fluoro-4-methoxybenzyl) amino] -,  
monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 19448-13-6 CAPLUS

CN Pyridine, 2-[[2-(diisopropylamino)ethyl] (5-fluoro-2-methoxybenzyl) amino] -,  
monohydrochloride (8CI) (CA INDEX NAME)



● HCl

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